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(71)Applicant : **EAG KK
KUBO MICHITOKU
MATSUDA HIDEAKI
DOI HIROO**

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(72)Inventor : **KUBO MICHITOKU
MATSUDA HIDEAKI
IINUMA MUNEKAZU**

(54) THERAPEUTIC AGENT FOR MELANOGENETIC INSUFFICIENCY

(57)Abstract:

PROBLEM TO BE SOLVED: To obtain the subject inhibitor having excellent promoting effects on melanin production, capable of preventing and improving melanogenetic insufficiency of trichopoliosis, leukoplasia, etc., by including Piper Methysticum or its extract as an active ingredient.

SOLUTION: This therapeutic agent comprises Piper Methysticum (a plant of the genus Piper of the family Piperaceae, called 'Kaba' or 'Kawa' in Japanese, herbaceous bush distributed in the Oceania district) or its extract as an active ingredient. The therapeutic agent can be used as it is or formulated with a component useful for a cosmetic, a quasi medicine, a medicine or the like and used. Preferably the inhibitor contains 0.0001-20 wt.% calculated as a dried solid content of the plant in the whole composition. The inhibitor can be used by an application such as oral administration, topical administration, etc., preferably applied to the skin as an external preparation for skin simply.

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CLAIMS

[Claim]

[Claim 1] The melanogenesis insufficiency treatment agent characterized by containing pipper ***** (Piper Methysticum) or its extract as an active principle.

[Claim 2] The melanogenesis insufficiency treatment agent of the claim 1 publication characterized by being a skin medicine for external application.

[Claim 3] The melanogenesis insufficiency treatment agent of the claim 1 publication characterized by being an internal use agent.

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DETAILED DESCRIPTION

[Detailed description]

[0001]

[The technical field to which invention belongs] this invention relates to the treatment agent which can prevent melanogenesis insufficiencies, such as the trichopoliosis and the leukoplakia, and can be improved.

[0002]

[Prior art] The melanin which acts as a ** student from the melanogenesis cell which exists in epidermis or the thrix shifts to a cornification cell, and supply variance is carried out in an organization in connection with propagation of a cornification cell, and specialization. In this case, the color tone of an organization is determined by quantitative and the qualitative differentiation in melanin which are supplied to an organization. It is the morbus generated in order that a melanin may carry out the deposition of the hemochromatosis, such as ***** of the skin, to the skin superfluously with a silverfish, buckwheat dregs, ****, and medicines, such as a steroid.

[0003] On the other hand, in connection with an aging, the facula of the trichopoliosis or the skin happens absence of melanogenesis ability, or as a result of a fall. The trichopoliosis means the phenomenon which white hair produces in the shape of *****, and the melanogenesis fall accompanied by the incompetence of the enzyme activity of the tyrosinase of the melanocyte in a hair follicle is the main factor. Although this melanin is widely distributed over the animal and plant kingdoms, in the vertebrate, by being a cytoplasm granulation melanosome, and a tyrosinase's oxidizing by the tyrosinase, and biosynthesizing a dopa and a dopa quinone in a melanocyte, further, it becomes the Indore quinone etc. according to the autoxidation according [a dopa quinone] to ultraviolet rays, and it is known that melanin is biosynthesized through a complicated path. Such a melanogenesis incompetence is not desirable on cosmetics regardless of man and woman.

[0004] However, although it is most which is chiefly dyed by the stain and the various charges of thrix makeup also as a treatment agent are reported as a cure for the trichopoliosis, there is nothing that has come to be widely applied as a fundamental treatment agent.

[0005] the spots which a facula is a kind of a original exanthema and were produced by the melanin depigmentation -- saying -- the simple nature facula with most cases -- whole body nature modulations, such as an internal secretion, the autonomic-nerve functional disorder, and the internal internal-organs morbus, -- the procatarxis -- becoming -- a part of skin -- a boundary -- the clear melanin depigmentation is caused There is no suitable treatment agent also to such leukoplakia now.

[0006]

[Object of the Invention] Therefore, this invention is excellent in a melanogenesis facilitatory effect, and is made for the purpose of offering the treatment agent which can prevent and improve melanogenesis insufficiencies, such as the trichopoliosis and the leukoplakia.

[0007]

[The means for solving a technical problem] Then, the matter which makes an active principle specific vegetation or its specific extract was excellent in the melanogenesis facilitatory effect, and found out that melanogenesis insufficiencies, such as the trichopoliosis and the leukoplakia, could be prevented and improved, and this invention persons completed this invention, as a result of inquiring zealously.

[0008] That is, the melanogenesis insufficiency treatment agent of this invention contains piper ***** (Piper Methysticum) or its extract as an active principle.

[0009] Piper ***** used by this invention is the vegetation of a Piperaceae piper group, and is a herb nature shrub which is called a "hippopotamus" or "*****" in a Japanese name, and is distributed over the Oceania district. Although the root or rhizome was drunk between the Polynesian or the people from Melanesia at the time of the ritual traditional as a "***** drink", or an event, it was not known about the melanogenesis facilitatory effect. In this invention, after being able to use the parts of all the sites that constitute this vegetation or a sheet, a stalk, a root, a rhizome, the bloom, etc. as they are and drying these, it grinds, and it can be made powdered and can also use.

[0010] Moreover, the extract of this vegetation can also be used in this invention. The method of obtaining powder from the technique and still such an extract which obtain an extract as technique of obtaining an extract, using the extracting solvent which consists the sheet of this vegetation, a stalk, a root, a rhizome, the bloom, etc. of water and/or a hydrophilic organic solvent, for example by freeze drying, the spray drying, reduced pressure distilling off, etc. is mentioned. As a hydrophilic organic solvent, carbon numbers, such as a methanol and ethanol, are mentioned for the lower alcohol of 1-4, an acetone, etc., for example.

Especially, ethanol is desirable. Even if it is independent, you may use these solvents combining two or more sorts. Moreover, you may mix and use water and these hydrophilic organic solvents. As a desirable extracting solvent, water alcohol is mentioned and especially water ethanol is desirable. Although especially the amount of these extracting solvents used is not restricted, the absorption used in case an extractives agent, a tincture, etc. are ~~**ed~~, for example, the infusion, a percolation process, etc. are applicable.

[0011] The obtained extract can be condensed, diluted, or refined and used for remaining as it is or a pan. Furthermore, what was used as the single component can also be used by refining these extracts and powder using a column chromatography etc.

[0012]

[Gestalt of implementation of invention] Although the melanogenesis insufficiency treatment agent of this invention could be used as it was, it should be mixed with the component used for the usual charge of makeup, a unregulated drug, ~~the drug, etc.~~ In this case, the aforementioned vegetation or its extract should be preferably contained 0.01 to 10% of the weight 0.0001 to 20% of the weight during [all] composition as a vegetable xeransis solid content (vegetable xeransis solid content which used for the extraction in the case of the extract).

[0013] Thus, although the melanogenesis insufficiency treatment agent of this invention obtained can be used by technique, such as internal use and part medication, as a skin medicine for external application, applying to the skin is simple and it is desirable. Here, as a skin medicine for external application, medicinal medicines for external application, such as an ointment, the liniment, and the lotion, a cream, face toilet, a milky lotion, foundation, the charge of oily makeup, a pack agent, a skin cleaning agent, etc. are mentioned.

[0014] These skin medicines for external application can be manufactured by usual technique. In that case, in the domain which does not spoil the effect of this invention, the component used for a usual skin medicine for external application besides the aforementioned vegetation or its extract, for example, an oily medicine, a surfactant, a **** agent, a **** component, alcohols, antiseptics, a thickener, coloring matter, perfume, etc. can be combined suitably, and can be blended.

[0015] Next, the melanogenesis facilitatory effect of the piperper ~~*****~~ extract contained in the melanogenesis insufficiency treatment agent of this invention is explained.

(Manufacture of a piperper ~~*****~~ extract) After grinding the xeransis rhizome of piperper ~~*****~~, it extracted by 50% ethanol of an amount 10 times at the time of 2 hours and 2 times heat. It freeze-dried by having filtered the extract at the time of heat and having condensed under reduced pressure of a filtrate, and ethanol extraction extractives (it is hereafter written as PM-ext) were obtained with 11.5% of yield 50% of xeransis.

(Melanogenesis facilitatory effect) The melanogenesis facilitatory effect was investigated about the extraction extractives obtained above.

[0016] namely, H.S.Mason (Biochem.Biophys.Acta. --) 111, 134 (1965), T.Nagatsu (it Eeperientias) It applies to the technique of 28,634 (1972) correspondingly, and is a substrate dopa solution (0.03%). 0.5ml (it melts in 135U/ml and pH 6.8 phosphate buffer solution) of enzyme tyrosinase liquid was added to 0.5ml of lysis at pH 6.8 phosphate buffer solution, and 25 degreeC and after incubating for 5 minutes, 0.5ml of the sample liquid of the concentration further shown in Table 1 was added, and it incubated for 60 minutes. And the reaction was stopped with 0.2ml of 1N hydrochloric acids, and the dregs was obtained in 3,000rpm and the centrifugal separation for 15 minutes. With 1ml of 6N hydrochloric acids, it was melted once in the pan by 2ml of distilled water, and this dregs was melted in 2ml ~~*****~~ (Packard make) after washing by two centrifugal separation. When it was hard to melt, forcible lysis was carried out in ~~*****~~. The absorbance of a solution was measured by 400nm and the amount of melanin was computed from the absorbance curve of reference-standard melanin. A result is shown in Table 1.

[0017]

[Table 1]

被検体	濃度 ($\mu\text{g}/\text{ml}$)	産生メラニン量 ($\mu\text{g}/\text{ml}$)	促進率 (%)
対照	—	69.4 ± 0.4	—
PM-ext	50	68.1 ± 0.8	-2.0
	200	66.6 ± 0.7	-4.0
	500	84.9 ± 6.2	22.2
	1000	204.6 ± 56.4	194.7

[0018] As shown in Table 1, PM-ext promoted the melanogenesis from a dopa notably in the minute amount.

[0019]

[Example] (Example 1) The emollient cream of the composition shown in Table 2 was manufactured by the conventional method.

[0020] The obtained cream was excellent in the melanogenesis facilitatory effect, and has improved melanogenesis insufficiencies, such as the trichopoliosis and the leukoplakia.

[0021]

[Table 2]

組	成	(重量%)
ステアリン酸		2.0
ステアリルアルコール		7.0
還元ラノリン		2.0
スクワレン		5.0
オクチルデカノール		6.0
ポリオキシエチレンセチルエーテル		3.0
親油型モノオキシステアリン酸グリセリン		2.0
香料		0.3
防腐剤、酸化防止剤		適量
プロピレングリコール		5.0
PME-ext		1.0
精製水	全体で100となる量	

[0022] (Example 2) The emollient lotion of the composition shown in Table 3 was manufactured by the conventional method.

[0023] The obtained lotion was excellent in the melanogenesis facilitatory effect, and has improved melanogenesis insufficiencies, such as the trichopoliosis and the leukoplakia.

[0024]

[Table 3]

組	成	(重量%)
ステアリン酸		0.2
セタノール		1.5
ワセリン		3.0
ラノリンアルコール		2.0
流動パラフィン		10.0
ポリオキシエチレンモノオレイン酸エステル		2.0
香料		0.3
グリセリン		3.0
プロピレングリコール		5.0
トリエタノールアミン		1.0
PME-ext		1.0
精製水	全体で100となる量	

[0025]

[Effect of the invention] The melanogenesis insufficiency treatment agent of this invention is constituted as stated above, it is excellent in a melanogenesis facilitatory effect, as a skin medicine for external application, an internal use agent, etc., can be used suitably, and can prevent and improve melanogenesis insufficiencies, such as the trichopoliosis and the leukoplakia.

[Translation done.]